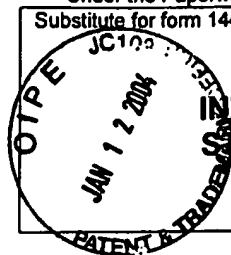


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Application Number	10/699,068
Filing Date	October 30, 2003
First Named Inventor	Qiyue Hu
Art Unit	Not yet assigned
Examiner Name	Not yet assigned
Attorney Docket Number	PC25050A

U.S. PATENT DOCUMENTS

EXAMINER INITIAL	Cite No. ¹	DOCUMENT NUMBER	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear
		Number-Kind Code ²			
AB	AA	60/422,513	10-31-2002	Michael Bruno Plewe, et al.	

FOREIGN PATENT DOCUMENTS

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AB	AB	WO 02/070491	12/09/2003	Shionogi & Co., Ltd.		

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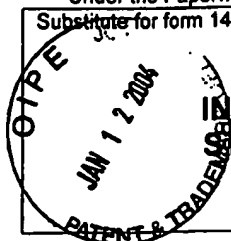
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NON PATENT LITERATURE DOCUMENTS

Examiner Initials	Cite No. ¹	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T ²
As	AC	ABDEL-MAGID, A.F., et al., "Reductive Amination of Aldehydes and Ketones by Using Sodium Triacetoxyborohydride," <i>Tetrahedron Letters</i> , 1990, 5595-5598, Vol. 31, No. 39.	
As	AD	ABDEL-MAGID, et al., "Reductive Amination of Aldehydes and Ketones with Sodium Triacetoxyborohydride," <i>Journal of Organic Chemistry</i> , 1996, 3849-3862, Vol. 61.	
As	AE	BAGSHAW, K., "Antibody-Directed Enzyme Prodrug Therapy: A Review," <i>Drug Development Research</i> , 1995, 220-230, Vol. 34.	
As	AF	BERTOLINI, et al., "A New Rational Hypothesis for the Pharmacophore of the Active Metabolite of Leflunomide, a Potent Immunosuppressive Drug," <i>Journal of Medicinal Chemistry</i> , 1997, 2011-2016, Vol. 40.	
As	AG	BIERE, H., et al., "Ein einfacher Zugang zum Pyrrolo[1,2-c]pyrimidin und Pyrrolo[3,2-c]pyridin-System," <i>Liebigs Ann. Chem.</i> , 1987, 491-497.	
As	AH	BLATT, A. H., et al., <i>Organic Synthesis, Collective Volume 2</i> , 1943, 67, Vol. 2, John Wiley & Sons, New York.	
As	AI	BODOR, "Novel Approaches to the Design of Safer Drugs: Soft Drugs and Site-Specific Chemical Delivery Systems," <i>Advances in Drug Research</i> , 1984, 255-331, Vol. 13.	
As	AJ	BREWSTER, J., et al., "Carbon-Carbon Alkylations with Amines and Ammonium Salts," <i>Organic Reactions</i> , Vol. VII, 1953, 99-197, Vol. 7.	
As	AK	BUNDGAARD, <i>Design of Prodrugs</i> , 1985, Elsevier Press, New York.	
As	AL	BUTLER, S.L., et al., "A quantitative assay for HIV DNA integration <i>in vivo</i> ," <i>Nature Medicine</i> , May 2001, 631-634, Vol. 7, No 5.	
As	AM	CAIN, M., et al., "Biomimetic Approach to Potential Benzodiazepine Agonists and Antagonists," <i>Heterocycles</i> , 1982, 1003-1007, Vol. 19, No. 6.	
As	AN	CHEN, B.K., et al., "Distinct modes of human immunodeficiency virus type 1 proviral latency revealed by superinfection of nonproductively infected cell lines with recombinant luciferase-encoding viruses," <i>Journal of Virology</i> , 1994, 654-660, Vol. 68, No. 2.	
As	AO	CHEN, et al., "Crystal structure of the HIV-1 integrase catalytic core and C-terminal domains: A model for viral DNA binding," <i>PNAS</i> , 2000, 8233-8238, Vol. 97, No. 15.	

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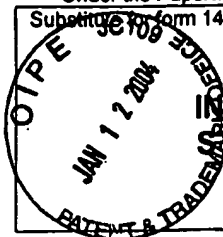
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AP	COKER, J.N., et al., "The Cyanomethylation of Indole," <i>Journal of Organic Chemistry</i> , 1963, 589-590, Vol. 28.
AQ	DEAR, et al., "Mass directed peak selection, an efficient method of drug metabolite identification using directly coupled liquid chromatography – mass spectrometry – nuclear magnetic resonance spectroscopy," <i>Journal of Chromatography B</i> , 2000, 281-293. Vol. 748.
AR	DEBYSER, Z., et al., "Assays for the Evaluation of HIV-1 Integrase Inhibitors," <i>Methods in Molecular Biology</i> , 2001, 139-155, Vol. 160, Schein, C.H. (ed.), Humana Press, Inc., Totawa, NJ.
AS	DEKHANE, M., et al., "A Practical Synthesis of 1h-Pyrrolo[2,3-c]Pyridine-5-Carboxylic Acid Derivatives From Pyrrole-2-Carboxaldehydes," <i>Tetrahedron</i> , 1993, 8139-8146, Vol. 49, No. 36.
AT	DODD, R.H., et al., "The Oxidation of Aromatic Aldehydes to Carboxylic Acids Using Hydrogen Peroxide in Formic Acid," <i>Synthesis</i> , 1993, 295-297.
AU	DODD, R.H., et al., "Synthesis and Pharmacological Activity of a Pyrido [3',4':5,4]Pyrrolo[1,2-c]-c[1,4] Benzodiazepine-3, 10-Dione, A New Benzodiazepine-β-Carboline Type Hybrid Molecule," <i>Heterocycles</i> , 1989, 1101-1113, Vol. 28, No. 2.
AV	DOISY, X., et al., "Synthesis and Benzodiazepine Receptor (ω Receptor) Affinities of 3-Substituted Derivatives of Pyrrolo[2,3-c]pyridine-5-Carboxylate, a Novel Class of ω ₁ Selective Ligands," <i>Bioorganic Medicinal Chemistry</i> , 1999, 921-932, Vol. 7.
AW	EBERLE, M.K., "Contribution to the Chemistry of Indole About the 5-(1-Indolyl)-2-pentanone System," <i>Journal of Organic Chemistry</i> , 1976, 633-636, Vol. 41, No. 4.
AX	GILCHRIST, T.L., et al., "Synthesis of Fused Pyridines under Neutral Conditions," <i>J.C.S. Chem. Comm.</i> , 1979, 627-628.
AY	GOLDGUR, Y., et al., "Structure of the HIV-1 integrase catalytic domain complexed with an inhibitor: A platform for antiviral drug design," <i>PNAS</i> , November 1999, 13040-13043, Vol. 96, No. 23.
AZ	GREENE, T.W., <i>Protective Groups in Organic Chemistry</i> , 3 rd Edition, 1999, 531-537, John Wiley & Sons.
BA	GROBLER, J., et al., "Diketo Acid Inhibitor Mechanism and HIV-1 Integrase: Implications for Metal Binding in the Active Site of Phosphotransferase Enzymes," <i>PNAS</i> , 2002, 6661-6666, Vol. 99, No. 10.
BB	GUZMAN, F., et al., "Biomimetic Approach to Potential Benzodiazepine Receptor Agonists and Antagonists," <i>Journal of Medicinal Chemistry</i> , 1984, 564-570, Vol. 27.
BC	HANSEN, M. S., et al., "Integration complexes derived from HIV vectors for rapid assays in vitro," <i>Nature Biotechnology</i> , June 1999, 578-582, Vol. 17, No. 6.

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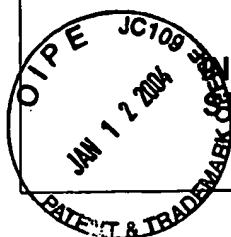
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First Named Inventor	Qiyue Hu
Art Unit	Not yet assigned
Examiner Name	Not yet assigned
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BD	HAZUDA, D., et al., "Discovery and Analysis of Inhibitors of the Human Immunodeficiency Integrase," <i>Drug Design and Discovery</i> , 1997, 17-24, Vol. 15.
BE	HENN, L., et al., "Formation of Indoles, Isoquinolines, and Other Fused Pyridines from Azidocrylates," <i>J. Chem. Soc. Perkin Trans.</i> , 1984, 2189-2196, Vol. 1.
BF	HUGHES, D., "Progress in the Mitsunobu Reaction. A Review," <i>Org. Prep. Proced. Int.</i> , 1996, 127-164, Vol. 28.
BG	JENKINS, T.M., et al., "A Soluble Active Mutant of HIV-1 Integrase," <i>Journal of Biological Chemistry</i> , 1996, 7712-7718, Vol. 271, Vol. 13.
BH	KANTLEHNER, W., et al., "Umsetzungen von <i>tert</i> -Butoxy- <i>N,N,N',N'</i> -tetramethylmethandiamin mit NH- und CH-aciden Verbindungen," <i>Liebigs Ann. Chem.</i> , 1980, 344-357.
BI	KELLEY, J.L., et al., "Attempted Inhibition of Histidine Decarboxylase with β -Alkyl Analogues of Histidine," <i>Journal of Medicinal Chemistry</i> , 1977, 721-723, Vol. 20, No. 5.
BJ	KOZIKOWSKI, A. P., et al., "Use of <i>N,N</i> -Dimethyl(Methylene)Ammonium Chloride in the Functionalization of Indoles," <i>Heterocycles</i> , 1980, 55-58, Vol. 14, No. 1.
BK	KREHER, R. P., et al., "Cyclisierende Kondensation von 1H-Pyrrol-3,4-dicarbaldehyden mit 1,2-bifunktionellen Verbindungen [1]," <i>Chemiker-Zeitung</i> , 1984, 275-277, Vol. 108, No. 9.
BL	KRUTOSIKOVA, A., et al., "Condensed O-, N-Heterocycles by the Transformation of Azidoacrylates," <i>Monatsh. Chem.</i> , 1992, 807-815, Vol. 123.
BM	LANE, C. F., "Sodium Cyanoborohydride - A Highly Selective Reducing Agent for Organic Functional Groups," <i>Synthesis</i> , 1975, 135-146.
BN	LARSEN, "Design and Application of Prodrugs," <i>Drug Design and Development</i> , 1991, Krogsgaard-Larsen et al., Eds., Harwood Academic Publishers, Chur, Switzerland.
BO	LEE, J.G., et al., "Aromatization of Cyclohexenes and Cyclohexadienes With Selenium Dioxide-Trimethylsilyl Polyphosphate," <i>Tetrahedron Letters</i> , 1992, 6363-6366, Vol. 33, No. 42.
BP	LEWIN, S.R., et al., "Use of Real-Time PCR and Molecular Beacons to Detect Virus Replication in Human Immunodeficiency Virus Type 1-Infected Individuals on Prolonged Effective Antiretroviral Therapy," <i>Journal of Virology</i> , July 1999, 6099-6103, Vol. 73, No 7.
BQ	MARCH, JERRY, <i>Advanced Organic Chemistry</i> , 5 TH Edition, 2001, 508-511, John Wiley & Sons, Inc., New York, NY.

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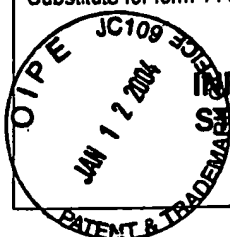
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So	BR	MARCH, JERRY, <u>Advanced Organic Chemistry</u> , 5 TH Edition, 2001, 911-914, John Wiley & Sons, New York, NY.	
So	BS	MATAKA, S., et al., "Condensation Reaction of 3,4-Dibenzoyl-1-methyl-2,5-diphenylpyrrole and -1-phenylpyrazole with Methylamine Derivatives Affording Pyrrolo [3,4-c]pyridine and 2H-Pyrazolo[3,4-c]- and [4,3-c]pyridines," <i>J. Heterocyclic Chem.</i> , 1981, 1073-1075, Vol. 18.	
So	BT	MOLINA, P., et al., "An Efficient Iminophosphorane-Mediated Synthesis of Thieno[3,2-c]pyridine, Thieno[2,3-c]pyridine and Furo[3,2-c]-pyridine Derivatives," <i>Synthesis</i> , 1987, 45-48.	
So	BU	MOLINA, P., et al., "Pyrido Annulation Reaction by a Tandem Aza Wittig/Electro-cyclic Ring-Closure Strategy: Preparation of Pyrazolo [4,3-c]- and Pyrazolo[3,4-c]pyridine Derivatives," <i>Tetrahedron</i> , 1991, 6737-6746, Vol. 47, No. 33.	
So	BV	PAIS, G.C.G., et al., "Structure Activity of 3-Aryl-1,3-diketo-Containing Compounds as HIV-1 Integrase Inhibitors," <i>Journal of Medicinal Chemistry</i> , 2002, 3184-3194, Vol. 45.	
So	BW	PROX, et al., "Rapid Structure Elucidation of Drug Metabolites by Use of Stable Isotopes," <i>Xenobiotica</i> , 1973, 103-112, Vol. 3, No. 2.	
So	BX	ROUSSEAU, J.F., et al., "Synthesis and Pharmacological Activity of a Pyrido[3':4':5,4]Pyrrolo[1,2-c]-[1,4]Benzodiazepine-3,10-Dione, A New Benzodiazepine- β -Carboline Type Hybrid Molecule," <i>Heterocycles</i> , 1989, 1101-1113, Vol. 28.	
So	BY	ROUSSEAU, J.F., et al., "Synthesis of 3-Deaza- β -hydroxyhistidine Derivatives and Their Use for the Preparation of Substituted Pyrrolo[2,3-c]pyridine-5-carboxylates via the Pictet-Spengler Reaction," <i>Journal of Organic Chemistry</i> , 1998, 2731-2737, Vol. 63.	
So	BZ	SAYASITH, K., et al., "Targeting HIV-1 Integrase," <i>Expert Opinion Ther. Targets</i> , 2001, 443-464, Vol. 5, No.4.	
So	CA	SHAFIEE, A., et al., "Synthesis of 2-Aryl-6-carbethoxythiazolo[4,5-c]pyridine and 7-Chloro-2-phenylthiazolo[5,4-c]pyridine [1]," <i>J. Heterocyclic Chem.</i> , 1986, 1171-1173, Vol. 23.	
So	CB	SHAN, D., et al., "Prodrug Strategies Based on Intramolecular Cyclization Reactions," <i>Journal of Pharmaceutical Science</i> , 1997, 765-767, Vol. 86, No. 7.	
So	CC	SINGH, S.K., et al., "Ethyl α -Amino- β , β -Diethoxypropionate, a Useful Synthon for the Preparation of 3,4-Fused Pyridine-6-Carboxylates from Aromatic Aldehydes," <i>Heterocycles</i> , 1997, 379-391, Vol. 44, No. 1.	
So	CD	SOERENS, D., et al., "Study of the Pictet-Spengler Reaction in Aprotic Media: Synthesis of the β -Galactosidase Inhibitor, Pyridindolol," <i>Journal of Organic Chemistry</i> , 1979, 535-545, Vol. 44, No. 4.	

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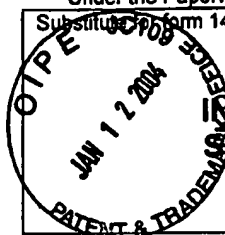
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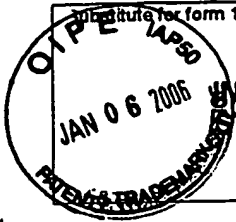
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<i>Ad</i>	CE	SPRAUL, et al., "Liquid chromatography coupled with high-field proton NMR for profiling human urine for endogenous compounds and drug metabolites," <i>Journal of Pharmaceutical & Biomedical Analysis</i> , 1992, 601-605, Vol. 10, No. 8.	
<i>Ad</i>	CF	STILL, et al., "Rapid Chromatographic Technique for Preparative Separations with Moderate Resolution," <i>Journal of Organic Chemistry</i> , 1978, 2923-2925, Vol. 43, No. 14.	
<i>Ad</i>	CG	SUNDBERG, R.J., et al., "Syntheses with N-Protected 2-Lithioindoles," <i>Journal of Organic Chemistry</i> , 1973, 3324-3330, Vol. 38, No. 19.	
<i>Ad</i>	CH	TERWILLIGER, E.F., et al., "Construction and use of a replication-competent human immunodeficiency virus (HIV-1) that expresses the chloramphenicol acetyltransferase enzyme," <i>PNAS</i> , 1989, 3857-3861, Vol. 86.	
<i>Ad</i>	CI	TROUT, G., et al., "Synthesis of Some Histidine Analogs and Their Effect on the Growth of a Histidine-Requiring Mutant of <i>Leuconostoc mesenteroides</i> ," <i>Journal of Medicinal Chemistry</i> , 1972, 1259-1261, Vol. 15, No. 12.	
<i>Ad</i>	CJ	WAI, J.S., et al., "4-Aryl-2,4-dioxobutanoic Acid Inhibitors of HIV-1 Integrase and Viral Replication in Cells," <i>Journal of Medicinal Chemistry</i> , 2000, 4923-4926, Vol. 43, No. 26.	
<i>Ad</i>	CK	WEISLOW, O.S., et al., "New Soluble-Formazan Assay for HIV-1 Cytopathic Effects: Application to High-Flux Screening of Synthetic and Natural Products for AIDS-Antiviral Activity," <i>J. Natl. Cancer Inst.</i> , 1989, 577-586, Vol. 81, No. 8.	
<i>Ad</i>	CL	YOUNG, S.D., "Inhibition of HIV-1 integrase by small molecules: The potential for a new class of AIDS chemotherapeutics," <i>Curr. Opin. Drug Disc. & Development</i> , 2001, 402-410, Vol. 4 No.4.	

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**INFORMATION DISCLOSURE
STATEMENT BY APPLICANT**
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Complete if Known

Application Number	10/699,068
Filing Date	October 30, 2003
First Named Inventor	Qiyue HU
Art Unit	1614
Examiner Name	Not yet assigned
Attorney Docket Number	PC25050A US

U.S. PATENT DOCUMENTS

EXAMINER INITIAL	Cite No. ¹	DOCUMENT NUMBER	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear
		Number-Kind Code ²			
AO	AA	US 5,726,203	03-10-1998	IKEKAWA, T., et al.	
AO	AB	US 5,616,609	04-01-1997	LI, Z., et al.	

FOREIGN PATENT DOCUMENTS

EXAMINER INITIAL	Cite No. ¹	Foreign Patent Document	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear	T ³
		Country Code ⁴ Number ⁵ Kind Code ⁶ (if known)				
AO	AC	WO 03/035076 A	05-01-2003	ISTITUTO DI RICERCHE DI BIOLOGIA MOLECOLARE P. ANGELETTI SPA		
AO	AD	WO 03/077850 A	09-25-2003	MERCK & CO., INC.		
AO	AE	EP 1 375 486 A	01-02-2004	SHIONOGI & CO., INC.		
AO	AF	WO 2004/039803 A	05-13-2004	PFIZER INC		
AO	AG	WO 2004/067531 A	08-12-2004	PFIZER INC		

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		Number-Kind Code ²			
As	AA	US 6 395 743 B1	05-28-2002	Heimbuch, B., et al.	
As	AB	US 6 403 347 B1	06-11-2002	Bills, G.F., et al.	
As	AC	US 2002/123527 A1	09-05-2002	Walker, M.A., et al.	

FOREIGN PATENT DOCUMENTS

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		Country Code ⁴ Number ⁴ Kind Code ⁵ (if known)				
As	AD	GB 2 271 566 A	04-20-1994	Lefemina, R.L., et al.		
As	AE	GB 2 306 476 A	05-07-1997	Polishook, J.D., et al.		
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As	AG	GB 2 327 674 A	02-03 1999	Bills, G.F., et al.		
As	AH	WO 00/68235 A	11-16-2000	Neamati, N., et al.		
As	AI	WO 01/09114 A	02-08-2001	Dombrowski, A., et al.		
As	AJ	WO 02/02516 A	01-10-2002	Harrison, R.W., et al.		
As	AK	WO 03/082881 A	10-09-2003	Sauve, G., et al.		
As	AL	WO 03/086319 A	10-23-2003	Robertson, S., et al.		

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